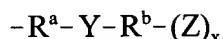


WHAT IS CLAIMED IS:

1. A glycopeptide compound having at least one substituent of the formula:



wherein

- 5 each R^a is independently alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkylene, substituted cycloalkylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, -C(O)-alkylene, substituted -C(O)-alkylene, -C(O)-alkenylene, substituted -C(O)-alkenylene, -C(O)-alkynylene, substituted -C(O)-alkynylene, -C(O)-cycloalkylene, substituted -C(O)-cycloalkylene, -C(O)-cycloalkenylene, substituted -C(O)-cycloalkenylene, -C(O)-arylene, -C(O)-heteroarylene, or -C(O)-heterocyclene;
- 10 each R^b is independently a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkylene, substituted cycloalkylene, cycloalkenylene, or substituted cycloalkenylene; provided R^b is not a covalent bond when Z is hydrogen;
- 15 each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -S-C(=O)-, -C(=O)-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-, -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-, -P(O)(OR^c)NR^c-, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-, -NR^cC(O)O-, -NR^cC(O)NR^c-, -OC(O)NR^c-, C(=O), and -NR^cSO₂NR^c-;
- 20 each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;
- 25 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,

substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; and

x is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided that at least one Y is $-S-S-$ or $-S-C(=O)-$; and

provided the glycopeptide is not substituted at the carboxy terminus with a substituent that comprises more than one carboxy group; and

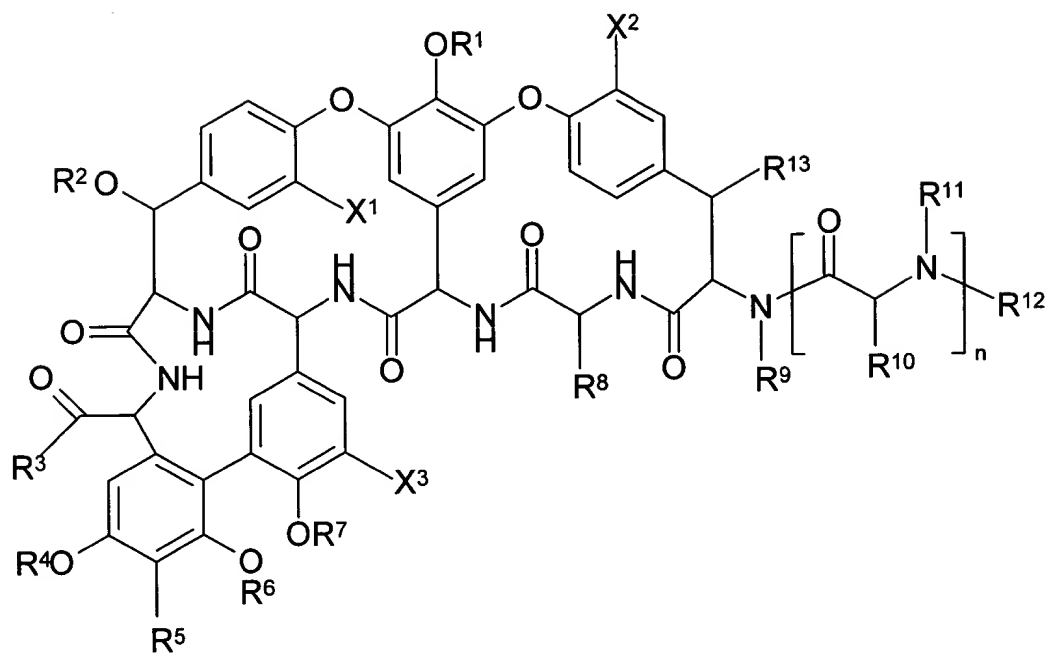
provided the glycopeptide is not substituted at the carboxy terminus with a substituent that comprises one or more saccharide groups and a carboxy group; and

provided the glycopeptide is not substituted on a saccharide nitrogen that corresponds to N^{van} with a substituent that comprises two or more hydroxy groups.

2. The glycopeptide of claim 1 wherein each R^a is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene.

3. The glycopeptide of claim 1 wherein each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen.

4. The glycopeptide of claim 1 which is a compound of formula I:



(I)

wherein:

R^1 is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-R^a-Y-R^b-(Z)_x$; or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^2 is hydrogen or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^e$, or $-O-R^c$;

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and

a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$, $-CH(R^c)-R^x$, and

5 $-CH(R^c)-NR^c-R^a-C(=O)-R^x$;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$;

R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R^8 and R^{10} are joined to form $-Ar^1-O-Ar^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or

R^{10} and R^{11} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic,
5 $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$,
or R^{11} and R^{12} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R^{13} is selected from the group consisting of hydrogen or $-OR^{14}$;

10 R^{14} is selected from hydrogen, $-C(O)R^d$ and a saccharide group;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond,
15 alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl,
20 heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

25 each R^f is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

R^x is a nitrogen-linked amino saccharide or a nitrogen-linked heterocycle;

X¹, X² and X³ are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur,

- 5 -S-S-, -S-C(=O)-, -C(=O)-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-,
-OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-,
-P(O)(OR^c)NR^c-, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-, -NR^cC(O)O-,
-NR^cC(O)NR^c-, -OC(O)NR^c-, C(=O), and -NR^cSO₂NR^c-;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl,
heteroaryl and heterocyclic;

10 *n* is 0, 1 or 2; and

x is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

wherein the glycopeptide is substituted with one or more groups wherein Y is

-S-S-, or -S-C(=O)-;

15 provided R³ is not a substituent that comprises more than one carboxy group.

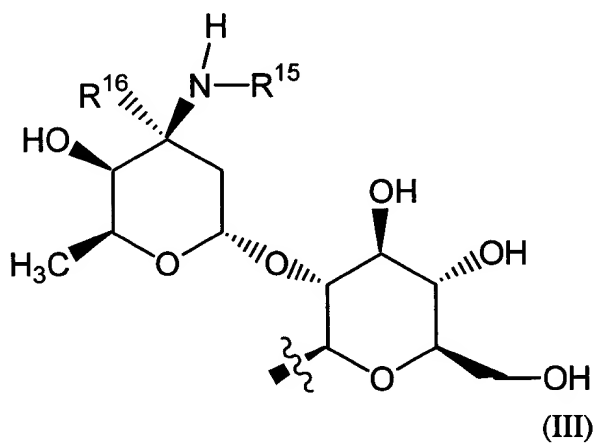
5. The glycopeptide of claim 4 wherein R¹ is an amino saccharide group
substituted on the amine with a substituent that comprises one or more disulfide or
thioester bonds.

6. The glycopeptide of claim 4 wherein R¹ is an amino saccharide group
20 substituted on the amine with a group of formula -R^a-W-R^b wherein: W is -S-S- or
-S-C(=O)- and R^b is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl,
substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted
cycloalkenyl, aryl, heteroaryl, or heterocyclic.

7. The glycopeptide of claim 4 wherein R^a is alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, $-C(O)$ -alkylene, substituted $-C(O)$ -alkylene, $-C(O)$ -alkenylene, substituted $-C(O)$ -alkenylene, $-C(O)$ -alkynylene, or substituted $-C(O)$ -alkynylene.

5 8. The glycopeptide of claim 4 wherein R^b is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, or substituted alkynyl.

9. The glycopeptide of claim 4 wherein R^1 is a saccharide group of formula (III):



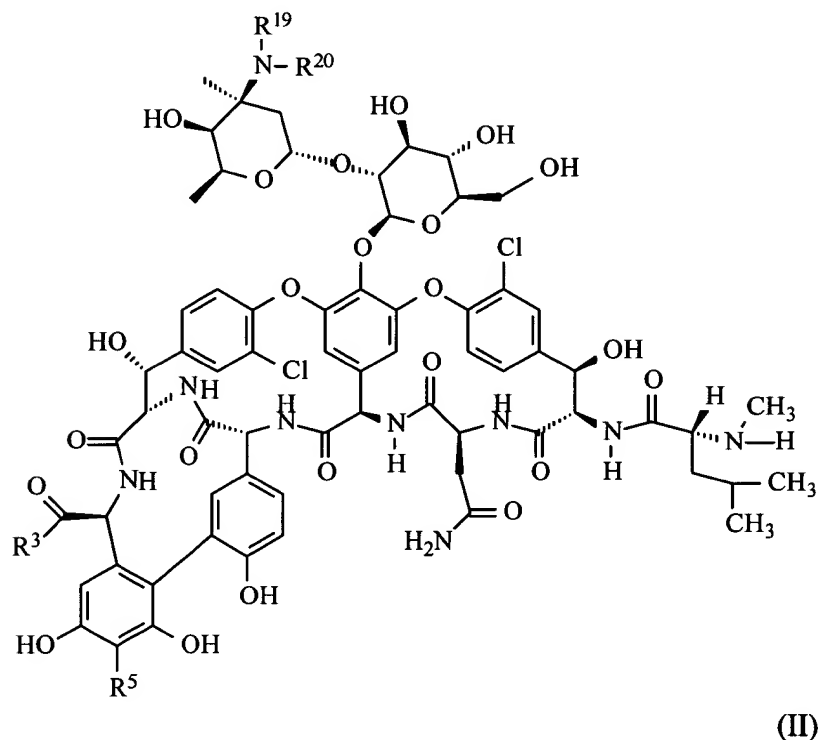
wherein R^{15} is $-R^a-W-R^h$; and R^{16} is hydrogen or methyl.

10. The glycopeptide of claim 4 wherein R^2 , R^4 , R^6 , and R^7 are each hydrogen.

10 11. The glycopeptide of claim 4 wherein R^3 is $-OH$.

12. The glycopeptide of claim 4 wherein R^5 is hydrogen, $-CH_2-NHR^c$, $-CH_2-NR^cR^e$ or $-CH_2-NH-R^a-Y-R^b-(Z)_x$.

13. The glycopeptide of claim 4 which is a compound of formula II:



wherein:

R^{19} is hydrogen;

R^{20} is $-R^a-W-R^h$;

- 5 R^a is alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkylene, substituted cycloalkylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, -C(O)-alkylene, substituted -C(O)-alkylene, -C(O)-alkenylene, substituted -C(O)-alkenylene, -C(O)-alkynylene, substituted -C(O)-alkynylene, -C(O)-cycloalkylene, substituted -C(O)-cycloalkylene, -C(O)-cycloalkenylene, substituted -C(O)-cycloalkenylene, -C(O)-arylene, -C(O)-heteroarylene, or -C(O)-heterocyclene;
- 10

R^h is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

W is -S-S- or -S-C(=O)- and

- 5 R³, and R⁵ have the values defined in claim 4;
or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof.

- 10 14. The glycopeptide of claim 13 wherein R^a is alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, -C(O)-alkylene, substituted -C(O)-alkylene, -C(O)-alkenylene, substituted -C(O)-alkenylene, -C(O)-alkynylene, or substituted -C(O)-alkynylene; and R^h is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, or substituted alkynyl.

15. The glycopeptide of claim 13 wherein R²⁰ is -(CH₂)₃S-S(CH₂)₇CH₃.

- 15 16. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of claim 1.

17. The pharmaceutical composition of claim 16, which comprises a cyclodextrin.

18. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 1

- 20 19. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 4.

20. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 13.

5 21. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 16.